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Patent- und Rechtsanwälte

Arabellastrasse 4

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(54) C-GLYCOSIDE DERIVATIVES AND SALTS THEREOF

(57) The present invention provides C-glycoside derivatives and salts thereof, wherein B ring is bonded to A ring via -X- and A ring is directly bonded to the glucose residue, and it is usable as a Na<sup>+</sup>-glucose cotransporter inhibitor, especially for a therapeutic and/or preventive

agent for diabetes such as insulin-dependent diabetes (type 1 diabetes) and insulin-independent diabetes (type 2 diabetes), as well as diabetes related diseases such as an insulin-resistant diseases and obesity.

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## INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP2004/003324

<b>A. CLASSIFICATION OF SUBJECT MATTER</b> Int.Cl <sup>1</sup> C07D309/10, 405/04, 405/10, 407/04, 407/10, 409/04, 409/10, 409/14, 413/10, 417/10, 495/04, A61K31/351, 31/381, 31/4035, 31/404, 31/41, 31/4184, 31/423, 31/428, 31/4433, 31/497, According to International Patent Classification (IPC) or to both national classification and IPC		
<b>B. FIELDS SEARCHED</b> Minimum documentation searched (classification system followed by classification symbols) Int.Cl <sup>1</sup> C07D309/10, 405/04, 405/10, 407/04, 407/10, 409/04, 409/10, 409/14, 413/10, 417/10, 495/04, A61K31/351, 31/381, 31/4035, 31/404, 31/41, 31/4184, 31/423, 31/428, 31/4433, 31/497, Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) CAPLUS (STN), CAOLD (STN), REGISTRY (STN)		
<b>C. DOCUMENTS CONSIDERED TO BE RELEVANT</b>		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
① X	TODOULOU, O.G. et al., Synthesis and antiviral activity of some new 1H-1,2,4-triazole derivatives, European Journal of Medicinal Chemistry, 1994, Vol.29, pages 611 to 620	1-3,8
② X	WO 98/31697 A1 (SANKYO CO., LTD.), 23 July, 1998 (23.07.98), Full text & AU 9860249 A	1,2,8-11
③ X	BUCHANAN, J. Grant et al., Synthesis of C-glycosyltetrazoles related to 3-deoxy-D-arabino- heptulosonic acid 7-phosphate (DAHP); potential inhibitors of early steps in the shikimate pathway, Journal of the Chemical Society, Perkin Transactions 1, 1992, No.20, pages 2593 to 2601	1,2,8
<input checked="" type="checkbox"/> Further documents are listed in the continuation of Box C. <input type="checkbox"/> See patent family annex.		
* Special categories of cited documents: "A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier application or patent but published on or after the international filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "O" document referring to an oral disclosure, use, exhibition or other means "P" document published prior to the international filing date but later than the priority date claimed "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art "&" document member of the same patent family		
Date of the actual completion of the international search 10 May, 2004 (10.05.04)		Date of mailing of the international search report 25 May, 2004 (25.05.04)
Name and mailing address of the ISA/ Japanese Patent Office		Authorized officer
Facsimile No.		Telephone No.

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## INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP2004/003324

## C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
④ X	JP 6-199695 A (Kabushiki Kaisha Yunie), 19 July, 1994 (19.07.94), Full text (Family: none)	1,8-11
⑤ X	VARMA, Shambhu D. et al., Inhibitor of lens aldose reductase by flavonoids-their possible role in the prevention of diabetic cataracts; Biochemical Pharmacology, 1976, Vol.25, No.22, pages 2505 to 2513	1,8-11
⑥ X	ANDRADE-CETTO, Adolfo et al., Hypoglycemic effect of Cecropia obtusifolia on streptozotocin diabetic rats, Journal of Ethnopharmacology, 2001, Vol.78, pages 145 to 149	1,8-11
⑦ X	SHEN, Zhufang et al., Hypoglycemic effect of the combined use of puerarin and aspirin in mice, Yaohue Xuebao, 1985, Vol.20, No.11, pages 863 to 865	1,8-11
⑧ A	WO 01/27128 A1 (BRISTOL-MYERS SQUIBB CO.), 19 April, 2001 (19.04.01), Full text & JP 2003-511458 A & EP 1224195 A1 & BR 2000014722 A & NO 2002001721 A	1-11
⑨ P,X	WO 2004/013118 A1 (Yamanouchi Pharmaceutical Co., Ltd.), 12 February, 2004 (12.02.04), Full text (Family: none)	1,2,8-11
⑩ P,X	WO 03/087093 A1 (COUNCIL OF SCIENTIFIC AND INDUSTRIAL RESEARCH), 23 October, 2003 (23.10.03), Full text & US 6562794 B1	1,8-11
⑪ P,X	WO 03/094928 A1 (DIAKRON PHARMACEUTICALS, INC.), 20 November, 2003 (20.11.03), Full text & US 2004/0006128 A1	1,8-11
⑫ P,X	WO 03/082887 A1 (COUNCIL OF SCIENTIFIC AND INDUSTRIAL RESEARCH), 09 October, 2003 (09.10.03), Full text & US 2003/0186898 A1 & EP 1381619 A1 & CN 1453286 A	1,8-11

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## INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP2004/003324

## C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, X	US 6617313 B1 (COUNCIL OF SCIENTIFIC AND INDUSTRIAL RESEARCH), 09 September, 2003 (09.09.03), Full text & WO 03/080634 A1	1, 8-11

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP2004/003324

Continuation of A. CLASSIFICATION OF SUBJECT MATTER  
(International Patent Classification (IPC))

Int.Cl<sup>7</sup> 31/5377, A61P3/10, 3/04

(According to International Patent Classification (IPC) or to both national classification and IPC)

Continuation of B. FIELDS SEARCHED

Minimum documentation searched (International Patent Classification (IPC))

Int.Cl<sup>7</sup> 31/5377, A61P3/10, 3/04

Minimum documentation searched (classification system followed by classification symbols)

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP2004/003324

## Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 12

because they relate to subject matter not required to be searched by this Authority, namely:

Claim 12 pertains to methods for treatment of the human body by therapy and thus relates to a subject matter which this International Searching Authority is not required to search.

2. ☐ Claims Nos.:

because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☐ Claims Nos.:

because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest.

☐ No protest accompanied the payment of additional search fees.